ACCESSION NUMBER: 1997024369 PCTFULL ED 20020514

TITLE (ENGLISH): GROWTH-HORMONE SECRETAGOGUES

TITLE (FRENCH): COMPOSES SECRETAGOGUES D'HORMONE DE CROISSANCE INVENTOR(S): CARPINO, Philip, A.; JARDINE, DaSilva, Paul, A.;

LEFKER, Bruce, A.; RAGAN, John, A.

PATENT ASSIGNEE(S): PFIZER INC.; CARPINO, Philip, A.; JARDINE, DaSilva,

Paul, A.; LEFKER, Bruce, A.; RAGAN, John, A.

LANGUAGE OF PUBL.: English DOCUMENT TYPE: Patent

PATENT INFORMATION:

DESIGNATED STATES AU BG BR BY CA CN CZ HU IL IS JP KR KZ LK LV MX NO NZ

PL RO RU SG SI SK TR UA US UZ VN AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN

ML MR NE SN TD TG

APPLICATION INFO.: WO 1996-IB1353 A 19961204 PRIORITY INFO.: US 1995-60/009,469 19951228

ABEN This invention is directed to compounds of formula (I) and the pharmaceutically-acceptable

salts thereof, where the substituents are as defined in the Specification, which are growth hormone

secretagogues and which increase the level of endogenous growth hormone. The compounds of this

invention are useful for the treatment and prevention of osteoporosis, congestive heart failure,

frailty associated with aging, obesity; accelerating bone fracture repair, attenuating protein

catabolic response after a major operation, reducing cachexia and protein loss due to chronic

illness, accelerating wound healing, or accelerating the recovery of burn patients or patients

having undergone major surgery; improving muscle strength, mobility, maintenance of skin thickness,

metabolic homeostasis or renal homeostasis. The compounds of the present

invention are also useful

in treating osteoporosis when used in combination with: a bisphosphonate

compound such as

alendronate; estrogen, premarin, and optionally progesterone; an estrogen agonist or antagonist; or

calcitonin, and pharmaceutical compositions useful therefor. Further, the present invention is

directed to pharmaceutical compositions useful for increasing the endogenous production or release

of growth hormone in a human or other animal which comprises an effective amount of a compound of

the present invention and a growth hormone

secretagogue selected from GHRP-6, Hexarelin, GHRP-1,

growth hormone releasing factor (GRF), IGF-1, IGF-2 or B-HT920. The invention is also directed to

intermediates useful in the preparation of compounds of formula (I).

ABFR L'invention concerne des composes representes par la formule (I), ainsi que leurs sels

acceptables sur le plan pharmaceutique, dans laquelle les substituants sont tels qu'ils sont definis

dans la description, qui sont des secretagogues de l'hormone de

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ΑP	1998-1270	Α	19980618	AP	9801270	A0	19980630
AU	1998-74454	Α	19980605	AU	9874454	A1	19990104
EP	1998-921680	Α	19980605	EP	1001970	A1	20000524
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WO	1998-IB873	Α	19980605	WO	9858947	A1	19981230

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L7 ANSWER 2 OF 9 PCTFULL COPYRIGHT 2002 Univentio ACCESSION NUMBER: 1998058948 PCTFULL ED 20020514

TITLE (ENGLISH): TARTRATE SALT OF A SUBSTITUTED DIPEPTIDE AS

GROWTH HORMONE SECRETAGOGUE

TITLE (FRENCH): TARTRATE DE DIPEPTIDE SUBSTITUE INTERVENANT COMME

SECRETAGOGUE D'HORMONE DE CROISSANCE

INVENTOR(S): CARPINO, Philip, Albert; DASILVA-JARDINE, Paul,

Andrew;

LEFKER, Bruce, Allen; MURRY, Jerry, Anthony PATENT ASSIGNEE(S): PFIZER PRODUCTS INC.; CARPINO, Philip, Albert;

DASILVA-JARDINE, Paul, Andrew; LEFKER, Bruce, Allen;

MURRY, Jerry, Anthony

LANGUAGE OF PUBL.: English

DOCUMENT TYPE:

PATENT INFORMATION:

Patent

NUMBER KIND DATE

WO 9858948 A1 19981230

DESIGNATED STATES AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE

ES FI GB GE GH HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZW GH GM KE LS MW SD SZ UG ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF

CG CI CM GA GN ML MR NE SN TD TG

APPLICATION INFO.: WO 1998-IB874 A 19980605 PRIORITY INFO.: US 1997-60/050,723 19970625

COPYRIGHT 2002 Univentio ANSWER 8 OF 9 PCTFULL 1.7 1997024369 PCTFULL ED 20020514 ACCESSION NUMBER: TITLE (ENGLISH): GROWTH-HORMONE SECRETAGOGUES COMPOSES SECRETAGOGUES D'HORMONE DE CROISSANCE TITLE (FRENCH): CARPINO, Philip, A.; JARDINE, DaSilva, Paul, A.; INVENTOR (S): LEFKER, Bruce, A.; RAGAN, John, A. PFIZER INC.; CARPINO, Philip, A.; JARDINE, DaSilva, PATENT ASSIGNEE(S): Paul, A.; LEFKER, Bruce, A.; RAGAN, John, A. LANGUAGE OF PUBL.: English Patent DOCUMENT TYPE: PATENT INFORMATION: NUMBER KIND DATE WO 9724369 A1 19970710 AU BG BR BY CA CN CZ HU IL IS JP KR KZ LK LV MX NO NZ DESIGNATED STATES PL RO RU SG SI SK TR UA US UZ VN AT BE CH DE DK ES FI FR GB GR IE IT LU MC NL PT SE BF BJ CF CG CI CM GA GN ML MR NE SN TD TG APPLICATION INFO.: WO 1996-IB1353 A 19961204 US 1995-60/009,469 19951228 PRIORITY INFO.: This invention is directed to compounds of formula (I) and the ABEN pharmaceutically-acceptable salts thereof, where the substituents are as defined in the Specification, which are growth hormone secretagogues and which increase the level of endogenous growth hormone. The compounds of this invention are useful for the treatment and prevention of osteoporosis, congestive heart failure, frailty associated with aging, obesity; accelerating bone fracture repair, attenuating protein catabolic response after a major operation, reducing cachexia and protein loss due to chronic illness, accelerating wound healing, or accelerating the recovery of burn patients or patients having undergone major surgery; improving muscle strength, mobility, maintenance of skin thickness, metabolic homeostasis or renal homeostasis. The compounds of the present invention are also useful in treating osteoporosis when used in combination with: a bisphosphonate compound such as alendronate; estrogen, premarin, and optionally progesterone; an estrogen agonist or antagonist; or calcitonin, and pharmaceutical compositions useful therefor. Further, the present invention is directed to pharmaceutical compositions useful for increasing the endogenous production or release of growth hormone in a human or other animal which comprises an effective amount of a compound of the present invention and a growth hormone secretagogue selected from GHRP-6, Hexarelin, GHRP-1, growth hormone releasing factor (GRF), IGF-1, IGF-2 or B-HT920. The invention is also directed to intermediates useful in the preparation of compounds of formula (I). L'invention concerne des composes representes par la formule (I), ainsi ABFR que leurs sels

acceptables

croissance et qui augmentent le niveau de l'hormone de croissance endogene. Ces composes sont utiles pour traiter et prevenir l'osteoporose, l'insuffisance cardiaque congestive, la fragilite associee au vieillissement, l'obesite, pour accelerer la reparation des fractures osseuses, attenuer la reaction catabolique proteique apres une operation lourde, limiter la cachexie et la perte proteique dues a une maladie chronique, accelerer la cicatrisation des lesions ou la covalescence de patients brules ou de patients ayant subi une intervention de chirurgie lourde, ameliorer la resistance musculaire, la mobilite, le maintien de l'epaisseur de la peau, l'homeostasie metabolique ou l'homeostasie renale. Ces composes sont egalement utiles pour traiter l'osteoporose quand on les utilise en combinaison avec un compose bisphophate, tel qu'alendronate, des oestrogenes, premarine et, eventuellement, progesterone, un agoniste ou un antagoniste d'oestrogene, ou calcitonine, ainsi qu'avec des compositions pharmaceutiques utiles a cet effet. L'invention concerne, de plus, des compositions pharmaceutiques utiles pour augmenter la production endogene ou la liberation d'hormone de croissance chez l'homme ou chez l'animal, et comprenant une dose efficace d'un compose de l'invention et un secretagogue d'hormone de croissance selectionne parmi GHRP-6, Hexareline, GHRP-1, ou B-HT920. L'invention

le facteur de liberation de l'hormone de croissance (GRF), IGF-1, IGF-2 concerne egalement des intermediaires utiles pour preparer les composes representes par la formule (I).

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AU 1996-49119	Α	19960202	AU	695129	B2	19980806
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EP 1996-905322	Α	19960202	EP	820299	A1	19980128
			EP	820299	B1	20020424
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